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DATE: Tuesday, December 06, 2005

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<input type="checkbox"/>	L4	pyridylmethylsulfinyl\$benzimidazo\$	28
<input type="checkbox"/>	L5	l4 and vitamin\$	4
		ascorbic or thiamine or niacin or retinol or phytonadione or riboflavin or	
<input type="checkbox"/>	L6	pyridoxine or cyanocobalamin or ascorbate or cholecalciferol or nicotinic or pantothenate or folic or biotin or inositol or choline	191749
<input type="checkbox"/>	L7	L6 and (l3 or l4)	33

END OF SEARCH HISTORY

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- ☐ 1. 20050222210. 13 Dec 04. 06 Oct 05. Prodrugs of imidazole derivatives, for use as proton pump inhibitors in the treatment of e.g. peptic ulcers. Kamiyama, Keiji, et al. 514/338; 546/272.7 546/273.7 A61K031/4439 C07D043/02.
-
- ☐ 2. 20050158747. 30 Nov 04. 21 Jul 05. CFTR modifier genes and expressed polypeptides useful in treating cystic fibrosis and methods and products for detecting and/or identifying same. Whitsett, Jeffrey Allen, et al. 435/6; 435/320.1 435/325 435/69.1 514/338 514/44 514/454 530/350 536/23.5 800/8 C12Q001/68 A01K067/00 C07H021/04 C07K014/705 A61K031/4439 A61K031/353.
-
- ☐ 3. 20050089570. 24 Sep 04. 28 Apr 05. Oros push-stick for controlled delivery of active agents. Cruz, Evangeline, et al. 424/468; A61K009/22 A61K009/36.
-
- ☐ 4. 20050059655. 20 Aug 04. 17 Mar 05. Nitrosated and nitrosylated diuretic compounds, compositions and methods of use. Garvey, David S., et al. 514/223.2; 514/266.2 514/266.3 544/13 544/284 544/286 A61K031/549 A61K031/517 C07D285/22.
-
- ☐ 5. 20040266828. 14 Jun 04. 30 Dec 04. Nitrosated and nitrosylated proton pump inhibitors, compositions and methods of use. Garvey, David S., et al. 514/338; 546/272.7 A61K031/4439 C07D43/14 C07D43/02.
-
- ☐ 6. 20040258621. 16 Oct 03. 23 Dec 04. Method of treating snoring and other obstructive breathing disorders. Stern, Warren. 424/45; 424/94.2 514/460 514/573 A61L009/04 A61K038/54 A61K031/557 A61K031/366.
-
- ☐ 7. 20040185119. 28 Jan 04. 23 Sep 04. Method and compositions for treating gastric hyperacidity while diminishing the likelihood of producing vitamin deficiency. Theuer, Richard C.. 424/682; 514/338 514/474 514/52 A61K033/06 A61K031/714 A61K031/4439 A61K031/375.
-
- ☐ 8. 20040024014. 01 Aug 03. 05 Feb 04. Nitrosated proton pump inhibitors, compositions and methods of use. Fang, Xinqin, et al. 514/303; 514/341 514/394 514/396 546/118 546/256 546/272.7 546/273.4 548/304.4 548/343.5 A61K031/4745 A61K031/444 A61K031/4439 A61K031/4178 A61K031/4184 C07D471/02 C07D43/14 C07D43/02.
-
- ☐ 9. 20030181487. 28 Jan 03. 25 Sep 03. Salts of benzimidazole compound and use thereof. Kamiyama, Keiji, et al. 514/338; 546/273.7 A61K031/4439 C07D43/02.
-
- ☐ 10. 20020137771. 19 Feb 02. 26 Sep 02. Stabilized pharmaceutical composition. Makino, Tadashi, et al. 514/338; 427/2.19 A61K031/4439 B01J013/00.
-
- ☐ 11. 20010047038. 20 Jun 01. 29 Nov 01. Method of using (H⁺/K⁺) ATPase inhibitors as antiviral agents. Moorman, Alan E., et al. 514/708; A61K031/10.
-
- ☐ 12. 6906078. 20 Jun 01; 14 Jun 05. Method of using (H⁺/K⁺) ATPase inhibitors as antiviral agents. Moorman; Alan E, et al. 514/269; 514/307 514/322. A61K031/505.
-
- ☐ 13. 6852739. 25 Feb 00; 08 Feb 05. Methods using proton pump inhibitors and nitric oxide donors. Garvey; David S., et al. 514/338; 514/233.2 514/254.03 514/300 514/303 514/361 544/127 544/134 544/284 544/323 544/324 544/368 546/112 546/115 546/118 546/121 546/273.7 548/126. C07D401/12 A61K031/4184.
-

- ☐ 14. 6159968. 15 Jan 99; 12 Dec 00. Activation of chloride channels for correction of defective chloride transport. Cuppoletti; John. 514/234.5; 514/2 514/255.06 514/318 514/338 514/44. A61K031/535 A61K031/445.
-
- ☐ 15. 6123962. 29 Oct 99; 26 Sep 00. Process for producing stabilized pharmaceutical composition. Makino; Tadashi, et al. 424/475; 424/495 424/683 424/686 424/692 514/394 514/395 514/925 514/927. A61K009/30 A61K009/16 A61K033/12 A61K033/10 A61K033/08.
-
- ☐ 16. 6051570. 10 Mar 98; 18 Apr 00. Benzimidazole derivatives as antiulcer agents, process for their preparation and pharmaceutical compositions containing them. Lohray; Braj Bhushan, et al. 514/217.04; 514/211.08 514/234.5 514/252.03 514/253.09 514/278 514/316 514/318 514/338 540/597 540/598 544/124 544/364 546/187 546/19 546/193 546/273.7. A61K031/55 A61K031/535 C07D403/14 C07D413/14.
-
- ☐ 17. 6017560. 19 Nov 98; 25 Jan 00. Process for producing stabilized pharmaceutical composition. Makino; Tadashi, et al. 424/475; A61K009/30.
-
- ☐ 18. 5945425. 24 Oct 96; 31 Aug 99. Method of using (H.sup.+ /K.sup.+)ATPase inhibitors as antiviral agents. Moormann; Alan E., et al. 514/269; 514/307 514/322 514/336 514/337 514/339 514/341 514/342 514/345 514/385 514/394 514/397 514/398 514/417. A61K031/42 A61K031/415 A61K031/425.
-
- ☐ 19. 5703097. 20 Oct 95; 30 Dec 97. 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole derivatives. Kim; Su Ung, et al. 514/338; 544/124 544/131 544/364 546/194 546/273.7. C07D401/12 A61K031/44.
-
- ☐ 20. 5589491. 18 Jan 95; 31 Dec 96. Injection and injection kit containing omeprazole and its analogs. Nakanishi; Shigeo, et al. 514/338; A61K031/44 A61K031/415.
-
- ☐ 21. 5554631. 21 Mar 95; 10 Sep 96. 5-pyrrolyl-6-halogeno-2-pyridylmethylsulfinyl benzimidazole derivatives. Kim; Su U., et al. 514/338; 544/124 544/131 544/364 546/112 546/115 546/148 546/194 546/273.7. C07D401/12 A61K031/44.
-
- ☐ 22. 5433959. 10 Sep 93; 18 Jul 95. Stabilized pharmaceutical composition. Makino; Tadashi, et al. 424/475; 424/495 424/683 424/686 424/692 514/394 514/395 514/925 514/927. A61K009/30 A61K033/12 A61K033/10 A61K033/08.
-
- ☐ 23. 5250527. 23 Sep 88; 05 Oct 93. Pyridyl containing benzimidazoles, compositions and use. Ife; Robert J.. 514/234.5; 514/253.09 514/318 514/338 544/124 544/131 544/364 546/193 546/273.7. A61K031/44 A61K031/535 C07D401/12 C07D413/14.
-
- ☐ 24. 5157039. 31 Dec 90; 20 Oct 92. 2-quinolinyl methoxy compounds, medical uses and intermediates therefor. Nielsen; Ole Bent T., et al. 514/311; 546/174 546/176. C07D215/22 A61K031/47.
-
- ☐ 25. 5109009. 10 Sep 90; 28 Apr 92. Quinoline and pyridine compounds and inhibition of 5-lipoxygenases therewith. Nielsen; Ole Bent T., et al. 514/311; 514/344 514/345 514/351 546/172 546/174 546/177 546/178 546/179 546/180 546/286 546/290. C07D215/00 C07D213/02 A61K031/47 A61K031/44.
-
- ☐ 26. 5093132. 31 Aug 90; 03 Mar 92. Stabilized pharmaceutical composition and its production.

Makino; Tadashi, et al. 424/475; 424/494 424/495 514/394 514/925 514/970. A61K009/30 A61K009/16 A61K031/415.

☐ 27. 5045321. 13 Feb 87; 03 Sep 91. Stabilized pharmaceutical composition and its production. Makino; Tadashi, et al. 424/475; 424/495 424/683 424/686 424/692 514/394 514/395 514/925 514/927. A61K009/30 A61K009/16 A61K033/12 A61K033/10.

☐ 28. 4826987. 28 Feb 86; 02 May 89. Pyridyl and quinoline derivatives. Nielsen; Ole Bent Ivrmose, et al. 546/174; 546/152 546/170 546/172 546/176 546/177 546/22 546/286 546/288 546/289 546/290 546/296 546/297 546/298 546/299 546/300 546/301 546/302. C07D213/30 C07D213/32 C07D215/14.

☐ 29. 4508905. 06 Apr 83; 02 Apr 85. Substituted 2-(-benzimidazolyl)pyridines. Junggren; Ulf K., et al. 546/273.7; C07D401/12.

☐ 30. 4359465. 28 Jul 80; 16 Nov 82. Methods for treating gastrointestinal inflammation. Ruwart; Mary J.. 514/314; 514/322 514/338 514/365 514/395. A61K031/44.

☐ 31. 4337257. 19 May 80; 29 Jun 82. Gastric acid secretion inhibiting substituted 2-(2-benzimidazolyl)-pyridines, their preparation, pharmaceutical preparations containing same, and method for inhibiting gastric acid secretion. Junggren; Ulf K., et al. 514/338; 546/273.7. A61K031/44 C07D401/12.

☐ 32. 4255431. 05 Apr 79; 10 Mar 81. Gastric acid secretion inhibiting substituted 2-(2-benzimidazolyl)-pyridines, pharmaceutical preparations containing same, and method for inhibiting gastric acid secretion. Junggren; Ulf K., et al. 514/338; 546/273.7 546/301 548/307.1. A61K031/44 C07D401/12.

☐ 33. 4045563. 11 Nov 75; 30 Aug 77. Substituted 2-[pyridylalkylenesulfinyl]-benzimidazoles with gastric acid secretion inhibiting effects. Berntsson; Peder Bernhard, et al. 514/338; 546/172 546/199 546/273.7 548/181 548/305.4 548/306.1. A61K031/44 C07D235/12.

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Term	Documents
((4 OR 3) AND 6).PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD.	33
(L6 AND (L3 OR L4)).PGPB,USPT,USOC,EPAB,JPAB,DWPI,TDBD.	33

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PGPUB-DOCUMENT-NUMBER: 20040266828
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20040266828 A1

TITLE: Nitrosated and nitrosylated proton pump inhibitors, compositions and methods of use

PUBLICATION-DATE: December 30, 2004

INVENTOR-INFORMATION:

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Richardson, Stewart K.	Tolland	CT	US
Tam, Sang William	Dover	MA	US
Wang, Tiansheng	Concord	MA	US

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	COUNTRY	TYPE CODE
NitroMed, Inc.	Bedford	MA	US	02

APPL-NO: 10/866303 [PALM]
DATE FILED: June 14, 2004

RELATED-US-APPL-DATA:

child 10866303 A1 20040614
parent division-of 09512829 20000225 US PENDING
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INT-CL: [07] A61 K 31/4439, C07 D 43/14, C07 D 43/02

US-CL-PUBLISHED: 514/338; 546/272.7
US-CL-CURRENT: 514/338; 546/272.7

DOCUMENT-IDENTIFIER: US 20040266828 A1

TITLE: Nitrosated and nitrosylated proton pump inhibitors, compositions and methods of use

Detail Description Paragraph:

[0277] The compounds and compositions of the present invention can be used in this aspect of the invention with any NSAID and selective COX-2 inhibitor known in the art. Such NSAIDs include, for example, aspirin (e.g., acetylsalicylic acid), salicylate esters and salts, acetate esters of salicylic acid, difluorophenyl derivatives (e.g., diflunisal), salicylsalicylic acids (e.g., salsalate), salts of salicylic acids (e.g., sodium salicylate), salicylamide, sodium thiosalicylate, choline salicylate, magnesium salicylate, combinations of choline and magnesium salicylates, 5-aminosalicylic acid (e.g., mesalamine), salicylazosulapyridine (e.g., sulfasalazine), methylsalicylate, and the like.

Detail Description Paragraph:

[0296] The compounds and compositions of the present invention can be formulated as pharmaceutically acceptable salts. Pharmaceutically acceptable salts include, for example, alkali metal salts and addition salts of free acids or free bases. The nature of the salt is not critical, provided that it is pharmaceutically-acceptable. Suitable pharmaceutically-acceptable acid addition salts may be prepared from an inorganic acid or from an organic acid. Examples of such inorganic acids include, but are not limited to, hydrochloric, hydrobromic, hydroiodic, nitrous (nitrite salt), nitric (nitrate salt), carbonic, sulfuric, phosphoric acid, and the like. Appropriate organic acids include, but are not limited to, aliphatic, cycloaliphatic, aromatic, heterocyclic, carboxylic and sulfonic classes of organic acids, such as, for example, formic, acetic, propionic, succinic, glycolic, gluconic, lactic, malic, tartaric, citric, ascorbic, glucuronic, maleic, fumaric, pyruvic, aspartic, glutamic, benzoic, anthranilic, mesylic, salicylic, p-hydroxybenzoic, phenylacetic, mandelic, embonic (pamoic), methanesulfonic, ethanesulfonic, benzenesulfonic, pantothenic, toluenesulfonic, 2-hydroxyethanesulfonic, sulfanilic, stearic, algenic, .beta.-hydroxybutyric, cyclohexylaminosulfonic, galactaric and galacturonic acid and the like. Suitable pharmaceutically-acceptable base addition salts include, but are not limited to, metallic salts made from aluminum, calcium, lithium, magnesium, potassium, sodium and zinc or organic salts made from primary, secondary and tertiary amines, cyclic amines, N,N'-dibenzylethylenediamine, chlorprocaine, choline, diethanolamine, ethylenediamine, meglumine (N-methylglucamine) and procaine and the like. All of these salts may be prepared by conventional means from the corresponding compound by reacting, for example, the appropriate acid or base with the compound.

CLAIMS:

4. The compound of claim 3, wherein the benzimidazole is omeprazole, lansoprazole, pantoprazole, rabeprazole, leminoprazole, timoprazole, tenatoprazole, disulprazole, esomeprazole, 2-(2-benzimidazolyl)-pyridine, a tricyclic imidazole, a thienopyridine benzimidazole, a fluoroalkoxy substituted benzimidazole, a dialkoxyl benzimidazole, a N-substituted 2-(pyridylalkenesulfinyl) benzimidazole, a cycloheptenepyridine, a 5-pyrrolyl-2-pyridylmethylsulfinyl benzimidazole, a alkylsulfinyl benzimidazole, a fluoro-pyridylmethylsulfinyl benzimidazole, an imidazo[4,5-b]pyridine, RO 18-5362 or IY 81149; wherein the quinoline is a 4-amino-3--carbonyl quinoline, a 4-amino-3-acylnaphthyridine, a 4-aminoquinoline, a 4-amino-3-acylquinoline or a 3-butyryl-4-(2-methylphenylamino)-8-(2-hydroxyethoxy)quinoline; wherein the pyrimidine is a quinazoline, a tetrahydroisoquinolin-2-yl pyrimidine or YH 1885; wherein the thiadiazole is 3-substituted 1,2,4-thiadiazolo[4,5-a]benzimidazole or a 3-substituted imidazo[1,2-d]-thiadiazole; wherein the sulfinylnicotinamide is a 2-sulfinylnicotinamide; wherein the thienoimidazole is a pyridylsulfinylbenzimidazole, a pyridylsulfinyl thienoimidazole, a thienoimidazole-toluidine, a 4,5-dihydrooxazole, a thienoimidazole-toluidine or Hoe-73 1; wherein the imidazopyridine is a imidazo[1,2-a]pyridine, a

pyrrolo[2,3-b]pyridine or a pharmaceutically acceptable salt thereof.

DOCUMENT-IDENTIFIER: US 6962717 B1

TITLE: Pharmaceutical compositions

CLAIMS:

8. A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of antidiabetics, analgesics, antiinflammatory agents, antirheumatic agents, antihypotensives, antihypertensives, psychopharmaceuticals, tranquilizers, antiemetics, muscle relaxants, glucocorticoids, agents for treating ulcerative colitis or Crohn's disease, antiallergics, antibiotics, antiepileptics, anticoagulants, antimycotics, antitussives, arteriosclerosis remedies, diuretics, enzymes, enzyme inhibitors, gout remedies, hormones and their inhibitors, cardiac glycosides, immunotherapeutics and cytokines, laxatives, lipid-lowering agents, migraine remedies, mineral preparations, otologicals, antiparkinson agents, thyroid therapeutics, spasmolytics, platelet aggregation inhibitors, vitamins, cytostatics and metastasis inhibitors, phytopharmaceuticals, chemotherapeutics and amino acids.

9. A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of analgesics, agents for treating ulcerative colitis or Crohn's disease, corticosteroids, proton pump inhibitors, virus statics, lipid-lowering agents, H2 blockers, antibiotics and ACE inhibitors.

14. A process for producing a pharmaceutical composition as claimed in claim 1, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the compacted composition has an average internal pore diameter, measured by mercury porosimetry at 1000 to 4000 bar, not exceeding 35 .mu.m, and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

15. A process for producing a pharmaceutical composition as claimed in claim 2, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the compacted composition has a percent porosity not exceeding 27%, and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

16. A process as claimed in claim 14, wherein for mixing the active pharmaceutical ingredient with the polymer insoluble in gastric and intestinal juices the active ingredient is moistened with an aqueous and/or organic dispersion or solution of the polymer, and the mixture is granulated and dried.

17. A process as claimed in claim 14, wherein the compaction takes places under a pressure of at least 5 kN per cm length of press.

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END OF SEARCH HISTORY

-PAT-NO: 6962717

DOCUMENT-IDENTIFIER: US 6962717 B1

TITLE: Pharmaceutical compositions

DATE-ISSUED: November 8, 2005

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Huber; Gerald	Ohringen			DE
Gruber; Peter	Freiburg			DE

ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Disphar International B.V.	Hengelo Gld.			NL	03

APPL-NO: 09/890104 [PALM]

DATE FILED: October 16, 2001

PCT-DATA:

APPL-NO	DATE-FILED	PUB-NO	PUB-DATE	371-DATE	102(E)-DATE
PCT/IB99/00180	January 29, 1999	WO00/44353	Aug 3, 2000	Oct 16, 2001	Oct 16, 2001

INT-CL: [07] A61 K 9/14, A61 K 9/22, A61 K 9/52, A61 K 9/28, A61 K 9/46

US-CL-ISSUED: 424/490; 424/435, 424/436, 424/451, 424/458, 424/464, 424/465, 424/466, 424/467, 424/468, 424/474, 424/479, 424/489, 424/490, 424/494, 424/497

US-CL-CURRENT: 424/490; 424/435, 424/436, 424/451, 424/458, 424/464, 424/465, 424/466, 424/467, 424/468, 424/474, 424/479, 424/489, 424/494, 424/497

FIELD-OF-SEARCH: 424/489, 424/490, 424/464, 424/451, 424/435, 424/436, 424/458, 424/465, 424/466, 424/467, 424/468, 424/474, 424/479, 424/494, 424/497

PRIOR-ART-DISCLOSED:

U.S. PATENT DOCUMENTS

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<input type="checkbox"/>	<u>4540685</u>	September 1985	Bauer	
<input type="checkbox"/>	<u>4713248</u>	December 1987	Kjornaes et al.	
<input type="checkbox"/>	<u>5013727</u>	May 1991	Halskov	
<input type="checkbox"/>	<u>5178868</u>	January 1993	Malmqvist-Granlund et al.	424/490
<input type="checkbox"/>	<u>5316774</u>	May 1994	Eury et al.	

<input type="checkbox"/>	<u>5476667</u>	December 1995	Kristensen et al.	
<input type="checkbox"/>	<u>5505966</u>	April 1996	Edman et al.	
<input type="checkbox"/>	<u>5580580</u>	December 1996	Masterson et al.	424/490
<input type="checkbox"/>	<u>5607695</u>	March 1997	Ek et al.	
<input type="checkbox"/>	<u>5716648</u>	February 1998	Halskov et al.	

FOREIGN PATENT DOCUMENTS

FOREIGN-PAT-NO	PUBN-DATE	COUNTRY	CLASS
0 040 590	November 1981	EP	
0 148 811	July 1985	EP	
0 212 745	March 1987	EP	
0 220 143	April 1987	EP	
0 239 361	September 1987	EP	
0 365 947	May 1990	EP	
0 453 001	October 1991	EP	
0 671 167	September 1995	EP	
0 671 168	September 1995	EP	
2134785	August 1984	GB	
WO 83/00435	February 1983	WO	
WO 91/18590	December 1991	WO	
WO 91/19483	December 1991	WO	
WO 92/09270	June 1992	WO	
WO 92/14452	September 1992	WO	
WO 92/16206	October 1992	WO	
WO 97/23199	July 1997	WO	
WO 97/25980	July 1997	WO	
WO 98/20858	May 1998	WO	

ART-UNIT: 1615

PRIMARY-EXAMINER: Spear, James M.

ATTY-AGENT-FIRM: Leydig, Voit & Mayer, Ltd.

ABSTRACT:

A pharmaceutical composition for slow release of active ingredient in the gastrointestinal tract, comprising a plurality of active ingredient-containing particles coated with a material insoluble in gastric and intestinal juices, where the particles have as core a homogeneous mixture comprising an active pharmaceutical ingredient and a polymer insoluble in gastric and intestinal juices, with an average

internal pore diameter not exceeding 35 μm , makes efficient and pH-independent delaying of release possible even with comparatively small amounts of polymer. It is additionally distinguished

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L2: Entry 1 of 1

File: USPT

Aug 6, 2002

DOCUMENT-IDENTIFIER: US 6428809 B1

TITLE: Metering and packaging of controlled release medication

Brief Summary Text (4):

The convenience of administering a single dose of a medication which releases multiple active ingredients in a controlled fashion and in a chosen location over an extended period of time, as opposed to the administration of a number of single doses at regular intervals, has long been recognized in the pharmaceutical arts. The advantage to the patient and clinician in having consistent and uniform blood levels of medication over an extended period of time are likewise recognized. The advantages of a variety of controlled-release dosage forms are well known. Among the most important advantages are: (1) increased contact time for the drug to allow for local activity in the stomach, small intestine, colon, or other locus of activity; (2) increased and more efficient absorption for drugs which have specific absorption sites; (3) the ability to reduce the number of dosages per period of time; (4) employment of less total drug; (5) minimization or elimination of local and/or systemic side effects; (6) minimization of drug accumulation associated with chronic dosing; (7) improved efficiency and safety of treatment; (8) reduced fluctuation of drug level; and (9) better patient compliance with overall disease management.

Brief Summary Text (5):

Additionally, many experts believe controlled release drug delivery has many important non-therapeutic ramifications as well, including a financial saving to the patient in terms of fewer lost work days, reduced hospitalization and fewer visits to the physician.

Brief Summary Text (8):

As used herein "controlled-release" is used to describe a system, i.e. method and materials for making an active ingredient available to the patient in accordance with a preselected condition, i.e. time, site, etc.. Controlled-release includes the use of instantaneous release, delayed release and sustained release. "Instantaneous release" refers to immediate release to the patient. "Delayed release" means the active ingredient is not made available until some time delay after administration. Typically, dosages are administered by oral ingestion, although other forms of administration are contemplated in accordance with the present invention. "Sustained release" refers to release of active ingredient whereby the level of active ingredient available to the patient is maintained at some level over a period of time. The method of effecting each type of release can be varied. For example, the active-ingredient can be placed on a semi-permeable membrane having predetermined diffusion, dissolution, erosion or breakdown characteristics.

Brief Summary Text (14):

Various methods have been devised to enable controlled-release systems to be delivered to a patient without destruction of the delivery system during manufacturing, handling and distribution. For example, controlled-release systems have been provided in the form of beads or particles which are packaged in a

gelatin capsule for oral dosage. This method of delivery of the controlled-release system prevents damage to the coating on the beads.

Detailed Description Text (14):

There are many drugs which could benefit from combinations to improve patient benefit. However, with many active ingredients, there is a question of chemical interaction. Thus, several drugs are normally prescribed as separate tablets or capsules which presents a problem in terms of patient compliance, e.g. TB triple therapy, AIDS multi-drug therapy, anti-infectives, etc. Also, delivery of two or more active medicaments could reduce side effects, and/or improve therapeutic response which may in turn permit a decrease in the required dosage. By way of example, we provide the following combinations:

Detailed Description Text (17):

(3) Enalapril.sup.5 and analogs and isomers is an ACE inhibitor used for the treatment of hypertension. This drug has been used with the following and analogs and isomers beta adrenegic-blocking agents, methyldopa, nitrate, calcium blocking agents, hydrazinc, Prazosin.sup.6 and Digoxin.sup.7 without clinically significant side effects. One or more of these agents may be combined with Enalapril to improve the compliance of patient with hypertension and hypertension and other cardiac diseases.

Detailed Description Text (19):

(5) Omeprazole.sup.1 and analogs and isomers is also used in combination with Clarithoromycin.sup.1 for ulcer treatment. These two drugs may be combined as a single dose for patient compliance.

Detailed Description Text (20):

(6) Tamoxifen.sup.10 and analogs and isomers used in treatment of breast cancer has a+/-30% incident of water retention with weight gain >5%. This can be a disturbing consequence for patients with an even more disturbing disease. The addition of a diuretic or combination diuretic to form a single dosage form for reduction in side effect and compliance.

Detailed Description Text (22):

(8) Metformin HCl.sup.12 and analogs and isomers are hypoglycemic agents which have been used in combination with Solfonylurea.sup.13 and analogs and isomers to treat Type 2 Diabetes. These two agents act in different ways on reducing glucose levels. A combination would be helpful for those patients requiring more aggressive oral therapy for their diabetes.

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